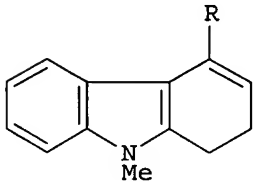
```
      (FILE 'HOME' ENTERED AT 17:48:02 ON 11 APR 2006)

      FILE 'CAPLUS' ENTERED AT 17:48:11 ON 11 APR 2006

      FILE 'REGISTRY' ENTERED AT 17:48:27 ON 11 APR 2006
L1             1 S 99614-01-4

      FILE 'CAPLUS' ENTERED AT 17:48:51 ON 11 APR 2006
L2            26 S 99614-01-4/PREP
L3            15 S 99614-01-4/PROC
L4             0 S 99614-01-4/PUR
L5            40 S L2 OR L3
L6            25 S L5 AND PY<2000
L7             0 S L6 AND CRYSTAL?
L8             0 S L6 AND POLYMORPH?
L9             0 S L6 AND ISOPROPANOL  AND ETHER AND XYLENE AND TOLUENE
L10            0 S L6 AND ISOPROPANOL  AND ETHER AND XYLENE
L11            0 S L6 AND ETHER AND XYLENE
L12            2 S L6 AND (ISOPROPANOL  OR ETHER OR XYLENE OR TOLUENE)
```

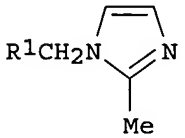
L12 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:998115 CAPLUS
DOCUMENT NUMBER: 124:176098
TITLE: Preparation of 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one
INVENTOR(S): Zhang, Yuebin; Wang, Anmin
PATENT ASSIGNEE(S): Qilu Pharmaceutical Factory, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 11 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1105364	A	19950719	CN 1993-115273	19931222 <--

PRIORITY APPLN. INFO.: CN 1993-115273 19931222
OTHER SOURCE(S): CASREACT 124:176098; MARPAT 124:176098
GI



II



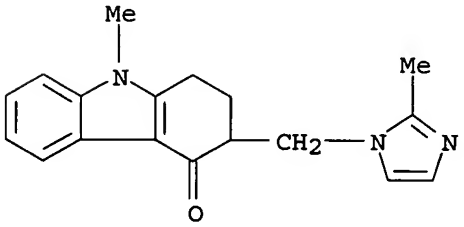
III

AB The title compound (I) was prepared by reaction of enamines II (R = NR22, N-R3; R2 = alkyl, cycloalkyl, aryl; NR22 = pyrrolidino, piperidino, , morpholino, etc.; R3 = alkyl, cycloalkyl, aryl) with imidazoles III (R1 = halo, sulfonyloxy, OH, alkoxy). Thus, reaction of 1,2,3,9-tetrahydro-9-methyl-4H-carbazol-4-one with pyrrolidine in **toluene** in the presence of p-toluenesulfonic acid gave the enamine intermediate, which was refluxed with 1-(chloromethyl)-2-methyl-1H-imidazole in acetonitrile for 6 h to give, after treatment with aqueous HCl, hydrochloride salt of I.

IT **99614-01-4P**
RL: SPN (Synthetic preparation); **PREP (Preparation)**
(preparation of (imidazolylmethyl)tetrahydrocarbazolone)

RN 99614-01-4 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

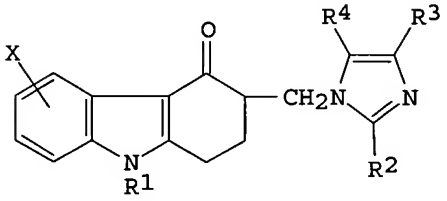


● HCl

L12 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1987:576032 CAPLUS
DOCUMENT NUMBER: 107:176032
TITLE: Preparation of tetrahydrocarbazolone derivatives as serotonin antagonists
INVENTOR(S): Coates, Ian Harold; Bell, James Angus; Humber, David Cedric; Ewan, George Blanch
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: Eur. Pat. Appl., 54 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 219193	A1	19870422	EP 1986-305674	19860723 <--
EP 219193	B1	19920527		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4725615	A	19880216	US 1986-888258	19860723 <--
AT 76642	E	19920615	AT 1986-305674	19860723 <--
JP 62077382	A2	19870409	JP 1986-174685	19860724 <--

PRIORITY APPLN. INFO.: GB 1985-18743 A 19850724
EP 1986-305674 A 19860723
OTHER SOURCE(S): MARPAT 107:176032
GI



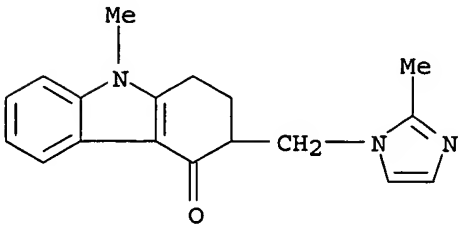
I

AB Tetrahydrocarbazolones I (R1 = H, C1-10 alkyl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-4-alkyl, C3-6 alkenyl, C3-10 alkynyl, Ph, phenyl-C1-3 alkyl; one of R2, R3, R4 = H, C1-6 alkyl, C3-9 cycloalkyl, C2-6 alkenyl, phenyl-C1-3-alkyl, each of the other groups = H, C1-6 alkyl; X = halo, OH, C1-4 alkoxy, phenyl-C1-3-alkoxy, C1-6 alkyl, NR5R6, CONR56; R5, R6 = H, C1-4 alkyl, C3-4 alkenyl; NR5R6 = saturate 5-7 membered ring) and their salts, potent and selective neuronal 5-hydroxytryptamine receptor antagonists and useful in the treatment of psychotic disorders (e.g. schizophrenia and mania), anxiety, pain, gastric stasis, symptoms of gastrointestinal dysfunction such as occur with dyspepsia, peptic ulcer, reflux esophagitis, and flatulence, migraine, nausea, and vomiting (no data), were prepared by 6 methods. 4-FC6H4NHNH2.HCl reacted with 1,3-cyclohexanedione to give 3-hydroxy-2-cyclohexen-1-one (4-fluorophenyl)hydrazone which was cyclized with ZnCl2 in refluxing EtOAc to give 6-fluoro-1,2,3,9-tetrahydro-4H-carbazol-4-one. This was methylated with Me2SO4 to the 9-Me derivative, aminomethylation of which with paraformaldehyde and Me2NH.HCl gave 3-[(dimethylamino)methyl]-6-fluoro-1,2,3,9-tetrahydro-9-methyl-4H-carbazol-4-one. This reacted successively with MeI and 2-methylimidazole to give I (R1 = R2 = Me, R3 = R4 = H, X = 6-F). A formulation for injection comprised active ingredient 2.0 mg/mL, NaCl as required, and H2O for injection to 1.0 mL.

IT **99614-01-4P**
RL: SPN (Synthetic preparation); **PREP (Preparation)**
(preparation of, as 5-hydroxytryptamine receptor antagonist)

RN 99614-01-4 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

=>